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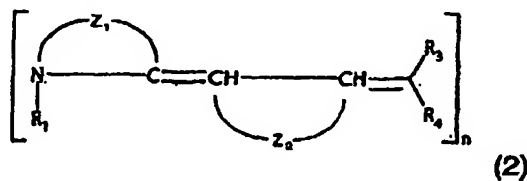
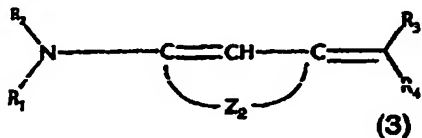
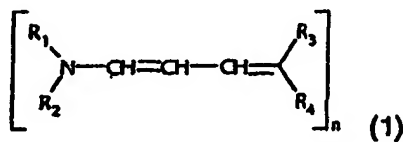
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[Continued on next page]

(54) Title: MEROCYANINE DERIVATIVES FOR COSMETIC USE



(57) Abstract: The use is described of merocyanine derivatives of formula (1), wherein R₁ and R₂ are each independently of the other hydrogen; C₁-C₂₂alkyl; cyclo-C₃C₈alkyl; or unsubstituted or C₁-C₅alkyl- or C₁-C₅alkoxy-substituted C₆-C₂₀aryl; or R₁ and R₂ together with the nitrogen atom linking them form a -(CH₂)_m- ring which is uninterrupted or interrupted by -O- or by -NH-; R₃ is a cyano group; -COOR₅; -CONHR₅; -COR₅; or -SO₂R₅; R₄ is a cyano group; -COOR₆; -CONHR₆; -COR₆; or -SO₂R₆; R₅ and R₆ are each independently of the other C₁-C₂₂alkyl; or unsubstituted or C₁-C₅alkyl substituted C₆-C₂₀aryl; or R₃ and R₄ together or R₅ and R₆ together form a 5- to 7-membered, monocyclic, carbocyclic or heterocyclic ring; Z₁, and Z₂ are each independently of the other a -(CH₂)_n- group which is uninterrupted or interrupted by -O-, -S-, or by -NR₇-, and/or is unsubstituted or substituted by C₁-C₅ alkyl; R₇ is C₁-C₅alkyl; I is from 1 to 4; m is from 1 to 7; n is from 1 to 4; when n = 2, R₁, R₅ or R₆ is a bivalent alkyl group; or R₁, and R₂ together with the 2 nitrogen atoms linking them form a -(CH₂)_m- ring; when n = 3, R₁, R₅ or R₆ is a trivalent alkyl group; when n = 4, R₁, R₅ or R₆ is a tetravalent alkyl group; and R₁, and R₂ in formula (1) are not simultaneously hydrogen, in protecting human and animal hair and skin from UV radiation.

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INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference HP/5-22712	FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. PCT/EP 03/06955	International filing date (day/month/year) 01/07/2003	(Earliest) Priority Date (day/month/year) 10/07/2002
Applicant CIBA SPECIALTY CHEMICALS HOLDING INC.		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 5 sheets.

☒ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing:

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☐ **Certain claims were found unsearchable** (See Box I).

3. ☐ **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

☐ the text is approved as submitted by the applicant.

☒ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

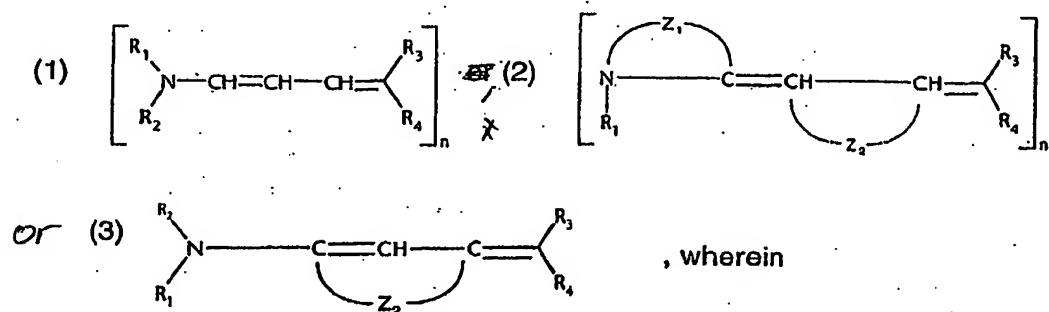
☐ because this figure better characterizes the invention.

☐ None of the figures.

Box III TEXT OF THE ABSTRACT (Continuation of Item 5 of the first sheet)

Abstract of the Disclosure

The use is described of merocyanine derivatives of formula



R_1 and R_2 are each independently of the other hydrogen; C_1 - C_{22} alkyl; cyclo- C_3 - C_8 alkyl; or unsubstituted or C_1 - C_5 alkyl- or C_1 - C_5 alkoxy-substituted C_6 - C_{20} aryl; or R_1 and R_2 together with the nitrogen atom linking them form a $-(CH_2)_m$ - ring which is uninterrupted or interrupted by $-O-$ or by $-NH-$;

R_3 is a cyano group; $-COOR_5$; $-CONHR_5$; $-COR_5$; or $-SO_2R_5$;

R_4 is a cyano group; $-COOR_6$; $-CONHR_6$; $-COR_6$; or $-SO_2R_6$;

R_5 and R_6 are each independently of the other C_1 - C_{22} alkyl; or unsubstituted or C_1 - C_5 alkyl-substituted C_6 - C_{20} aryl;

or R_3 and R_4 together or R_5 and R_6 together form a 5- to 7-membered, monocyclic, carbocyclic or heterocyclic ring;

Z_1 and Z_2 are each independently of the other a $-(CH_2)_l$ - group which is uninterrupted or interrupted by $-O-$, $-S-$, or by $-NR_7$, and/or is unsubstituted or substituted by C_1 - C_5 alkyl;

R_7 is C_1 - C_5 alkyl;

l is from 1 to 4;

m is from 1 to 7;

n is from 1 to 4;

when $n = 2$, R_1 , R_5 or R_6 is a bivalent alkyl group; or R_1 and R_2 together with the 2 nitrogen atoms linking them form a $-(CH_2)_m$ - ring;

when $n = 3$, R_1 , R_5 or R_6 is a trivalent alkyl group;

when $n = 4$, R_1 , R_5 or R_6 is a tetravalent alkyl group; and

R_1 and R_2 in formula (1) are not simultaneously hydrogen, in protecting human and animal hair and skin from UV radiation.

INTERNATIONAL SEARCH REPORT

International Application No

PO 03/06955

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K7/42 C07C255/30 C07D295/145

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A61K C07C C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 00 20388 A (CIBA SC HOLDING AG (CH)) 13 April 2000 (2000-04-13) claims 1,8; example 2 -----	1,2
A	EP 0 127 819 A (MINNESOTA MINING & MFG) 12 December 1984 (1984-12-12) abstract; example 1 -----	1
A	US 3 723 154 A (OLIVER, G.L.) 27 March 1973 (1973-03-27) claim 1; example 1 -----	1,2,20
A	US 4 309 500 A (SHISHIDO, TADAO) 5 January 1982 (1982-01-05) abstract column 1, line 45 - column 2, line 20 column 4, line 5 - column 5, line 50 ----- -/--	1,2

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

4 November 2003

Date of mailing of the international search report

20/11/2003

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Authorized officer

Krische, D

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/06955

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 806 834 A (YOSHIDA, TORU) 15 September 1998 (1998-09-15) abstract column 6, line 58 - column 7, line 57 -----	1
A	DE 35 31 383 A (AGFA GEVAERT AG) 5 March 1987 (1987-03-05) claim 1 page 4, line 19 - page 7, line 36 -----	1

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/06955

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0020388	A	13-04-2000	AU 5980399 A	26-04-2000
			BR 9914255 A	03-07-2001
			CN 1321147 T	07-11-2001
			WO 0020388 A1	13-04-2000
			EP 1117641 A1	25-07-2001
			JP 2002526526 T	20-08-2002
			US 2002103197 A1	01-08-2002
			US 6358496 B1	19-03-2002
<hr/>				
EP 0127819	A	12-12-1984	IT 1181384 B	23-09-1987
			AU 560775 B2	16-04-1987
			AU 2912984 A	13-12-1984
			BR 8402727 A	14-05-1985
			CA 1225271 A1	11-08-1987
			DE 3470235 D1	05-05-1988
			EP 0127819 A2	12-12-1984
			JP 1839074 C	25-04-1994
			JP 5054653 B	13-08-1993
			JP 60075834 A	30-04-1985
			MX 161393 A	20-09-1990
			US 4576908 A	18-03-1986
<hr/>				
US 3723154	A	27-03-1973	FR 2143157 A1	02-02-1973
<hr/>				
US 4309500	A	05-01-1982	JP 1128314 C	24-12-1982
			JP 53097425 A	25-08-1978
			JP 57019767 B	24-04-1982
			DE 2804719 A1	10-08-1978
			GB 1595744 A	19-08-1981
<hr/>				
US 5806834	A	15-09-1998	JP 8239509 A	17-09-1996
<hr/>				
DE 3531383	A	05-03-1987	DE 3531383 A1	05-03-1987
			DE 3678362 D1	02-05-1991
			EP 0213569 A2	11-03-1987
			JP 2566928 B2	25-12-1996
			JP 62056957 A	12-03-1987
			US 4749643 A	07-06-1988
<hr/>				